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(19) (CA) # CANADIAN PATENT (12)

(54) ANTHELMINTICALLY ACTIVE 2-ALKOXYCARBONYLAMINO -5 (6)-PHENYL-SULFONYLOXY-BENZIMIDAZOLES AND PROCESS FOR PREPARING THEM

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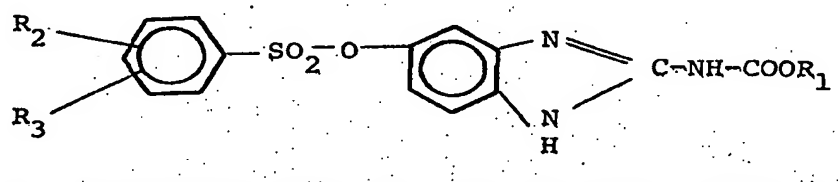
No. OF CLAIMS 5 - No drawing

ABSTRACT OF THE DISCLOSURE

This invention is directed to a process for the preparation of a 2-alkoxycarbonylamino-5(6)-phenylsulfonyloxy-benzimidazole of the formula (1)



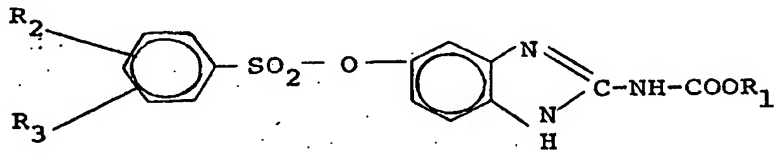
(1)

wherein R_1 represents alkyl of 1 to 4 carbon atoms, R_2 and R_3, independently of one another, each represents hydrogen, hydroxy, alkoxy of 1 to 4 carbon atoms, halogen, trifluoromethyl, alkyl of 1 to 4 carbon atoms, alkoxycarbonyl of 1 to 4 carbon atoms in the alkoxy radical, or cyano by the reaction of a 2-alkoxycarbonyl-amino-5(6)hydroxybenzimidazole with a benzene-sulfonic acid halide in the presence of a base. The products are valuable chemo-therapeutic agents suitable for combatting diseases caused by parasites in humans and animals such as helminths and liver flukes.

A

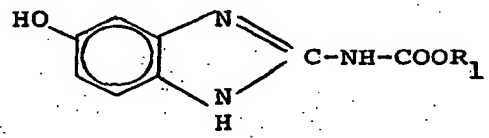
THE EMBODIMENTS OF THE INVENTION IN WHICH AN EXCLUSIVE PROPERTY OR PRIVILEGE IS CLAIMED ARE DEFINED AS FOLLOWS:

1. A process for the preparation of a 2-alkoxycarbonyl-amino-5(6)-phenylsulfonyloxy-benzimidazole of the formula (1)



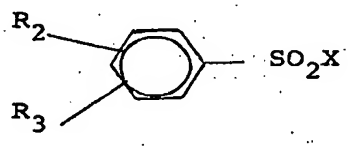
(1)

wherein R_1 represents alkyl of 1 to 4 carbon atoms, R_2 and R_3, independently of one another, each represents hydrogen, hydroxy, alkoxy of 1 to 4 carbon atoms, halogen, trifluoromethyl, alkyl of 1 to 4 carbon atoms, alkoxycarbonyl of 1 to 4 carbon atoms in the alkoxy radical, or cyano,
in which a 2-alkoxycarbonylamino-5(6)-hydroxy-benzimidazole of the formula (2)



(2)

wherein R_1 is as defined above, is reacted with a benzene-sulfonic acid halide of the formula (3)



(3)

wherein R_2 and R_3 are as defined above and X represents fluorine, chlorine or bromine in the presence of a base.

2. A process as claimed in claim 1 in which the reaction is carried out by suspending the compound of the formula (2) in an aprotic solvent with a tertiary amine, a solution of the compound of the formula (3) is added thereto in the form of drops and the mixture is simultaneously stirred.

3. A process as claimed in claim 1 in which the base is selected from the group of alkali metal and alkaline earth metal hydroxides, carbonates and bicarbonates and tertiary organic bases comprising triethylamine, pyridine and methyl-substituted pyridines.

4. A process as claimed in claim 1, claim 2 or claim 3 in which the reaction is carried out at a temperature in the range of from 0 to 60°C.

5. A process as claimed in claim 1, claim 2 or claim 3 in which the reaction is carried out at a temperature in the range of from 15 to 30°C.

SUBSTITUTE

REMPLACEMENT

SECTION is not Present

Cette Section est Absente